

| FORM PTO-1449<br>(REV. 7-80)  |    | U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE  |   | ATTY. DOCKET NO.:<br>332.1132             |   | SERIAL NO.: 10/126,506 |   |   |          |                                    |       |          |                               |    |
|---|----|---|---|---|---|------------------------|---|---|----------|------------------------------------|-------|----------|-------------------------------|----|
| <b>LIST OF PRIOR ART CITED BY APPLICANT</b><br>(Use several sheets if necessary)  |    |   |   | APPLICANT(S): R. Richard GOEHRING, et al. |   |                        |   |   |          |                                    |       |          |                               |    |
|   |    |   |   | FILING DATE: April 18, 2002               |   | GROUP: 1614            |   |   |          |                                    |       |          |                               |    |
| <b>U.S. PATENT DOCUMENTS</b>  |    |   |   |   |   |                        |   |   |          |                                    |       |          |                               |    |
| *EXAMINER<br>INITIAL  | AA | 3   | 1 | 6   | 1 | 6                      | 4 | 5 | DATE     | NAME                               | CLASS | SUBCLASS | FILING DATE<br>IF APPROPRIATE |    |
| RO  | AA | 3   | 1 | 6   | 1 | 6                      | 4 | 5 | 12/15/64 | Paul Adriaan, et al.               | 260   | 293.4    |                               |    |
| RO  | AB | 3   | 3 | 1   | 8 | 9                      | 0 | 0 | 05/09/67 | Paul Adriaan, et al.               | 260   | 294      |                               |    |
| RO  | AC | 3   | 3 | 2   | 5 | 4                      | 9 | 9 | 06/13/67 | Poos, et al.                       | 260   | 294      |                               |    |
| RO  | AD | 4   | 2 | 1   | 5 | 1                      | 1 | 9 | 07/29/80 | Mentrup, et al.                    | 424   | 248.5    |                               |    |
| RO  | AE | 4   | 3 | 2   | 9 | 3                      | 5 | 3 | 05/11/82 | Stokbroekx, et al.                 | 424   | 267      |                               |    |
| RO  | AF | 4   | 4 | 1   | 0 | 5                      | 2 | 8 | 10/18/83 | Teranishi, et al.                  | 424   | 251      |                               |    |
| RO  | AG | 5   | 5 | 7   | 4 | 0                      | 4 | 4 | 11/12/96 | Thompson, et al.                   | 514   | 316      |                               |    |
| RO  | AH | 5   | 6 | 6   | 1 | 1                      | 6 | 9 | 08/26/97 | Di Malta, et al.                   | 514   | 387      |                               |    |
| RO  | AI | 5   | 7 | 6   | 0 | 0                      | 5 | 4 | 06/02/98 | Huff, et al.                       | 514   | 302      |                               |    |
| RO  | AJ | 5   | 7 | 6   | 7 | 1                      | 1 | 8 | 06/16/98 | Nargund, et al.                    | 514   | 226.4    |                               |    |
| RO  | AK | 6   | 0 | 6   | 3 | 7                      | 9 | 6 | 05/16/00 | Yang, et al.                       | 514   | 322      |                               |    |
| RO  | AL | 6   | 1 | 6   | 6 | 0                      | 3 | 7 | 12/26/00 | Budhu, et al.                      | 514   | 326      |                               |    |
| <b>FOREIGN PATENT DOCUMENTS</b>   |    |   |   |   |   |                        |   |   |          |                                    |       |          |                               |    |
|   |    | DOCUMENT NUMBER   |   |   |   |                        |   |   | DATE     | COUNTRY                            | CLASS | SUBCLASS | TRANSLATION                   |    |
|   |    |   |   |   |   |                        |   |   |          |                                    |       |          | YES                           | NO |
| RO  | AM | 9   | 7 | 1   | 0 | 2                      | 1 | 3 | 03/20/97 | WO (A1)                            | C07D  | 211/44   | Abstract                      |    |
| RO  | AN | 9   | 7 | 4   | 0 | 0                      | 3 | 5 | 10/30/97 | WO (A1)                            | C07D  | 401/04   |                               |    |
| RO  | AO | 9   | 8 | 5   | 4 | 1                      | 6 | 8 | 12/03/98 | WO (A1)                            | C07D  | 401/04   | Abstract                      |    |
| RO  | AP | 9   | 9 | 2   | 9 | 6                      | 9 | 6 | 06/17/99 | WO (A1)                            | C07D  | 491/107  |                               |    |
| RO  | AQ | 9   | 9 | 3   | 6 | 4                      | 2 | 1 | 07/22/99 | WO (A1)                            | C07D  | 401/04   |                               |    |
| RO  | AR | 9   | 9 | 4   | 8 | 4                      | 9 | 2 | 09/30/99 | WO (A1)                            | A61K  | 31/165   | Abstract                      |    |
| <b>OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)</b>   |    |   |   |   |   |                        |   |   |          |                                    |       |          |                               |    |
| RO  | AS | Custers, F.G.J., et al., "Vesamicol and some of its derivatives: Questionable ligands for selectively labelling acetylcholine transporters in rat brain", European Journal of Pharmacology, 338: 117-183, 1997. |   |   |   |                        |   |   |          |                                    |       |          |                               |    |
| EXAMINER<br><i>R. Desai</i>   |    |   |   |   |   |                        |   |   |          | DATE CONSIDERED<br><i>12/29/03</i> |       |          |                               |    |
| *EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. |    |   |   |   |   |                        |   |   |          |                                    |       |          |                               |    |

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| U.S. PATENT DOCUMENTS   |    |   |   |   |   |                        |              |       |          |                               |      |        |  |
| *EXAMINER<br>INITIAL  | BA | DOCUMENT NUMBER   |   |   |   | DATE                   | NAME         | CLASS | SUBCLASS | FILING DATE<br>IF APPROPRIATE |      |        |  |
| RO  |    | 6   | 1 | 2   | 7 | 0                      | 6            | 7     | 01/09/01 | Ito, et al.                   | 514  | 252.13 |  |
| FOREIGN PATENT DOCUMENTS  |    |   |   |   |   |                        |              |       |          |                               |      |        |  |
|   |    | DOCUMENT NUMBER   |   |   |   | DATE                   | COUNTRY      | CLASS | SUBCLASS | TRANSLATION                   |      |        |  |
|   |    |   |   |   |   |                        |              |       |          | YES                           | NO   |        |  |
| RO  | BB | 9   | 9 | 5   | 9 | 9                      | 9            | 7     | 11/25/99 | WO (A1)                       | C07D | 471/10 |  |
| RO  | BC | 0   | 0 | 0   | 0 | 5                      | 6            | 5     | 02/10/00 | WO (A1)                       | C07D | 211/52 |  |
| RO  | BD | 0   | 1 | 0   | 7 | 0                      | 5            | 0     | 02/01/01 | WO (A1)                       | A61K | 31/445 |  |
| RO  | BE | 0   | 1 | 4   | 4 | 2                      | 1            | 3     | 06/21/01 | WO (A1)                       | C07D | 265/18 |  |
| RO  | BF | 0   | 2 | 2   | 9 | 9                      | 1            | 1     | 03/14/02 | WO (A2)                       | A61K | 31/347 |  |
| RO  | BG | 0   | 9 | 9   | 2 | 3                      | 9            | 1     | 10/26/83 | EP (A2)                       | C07D | 401/06 |  |
| RO  | BH | 6   | 9 | 2   | 1 | 1                      | 7            | 5     | 06/09/99 | EP (A1)                       | C07D | 471/10 |  |
| RO  | BI | 60  | 1 | 2   | 0 | 8                      | 7            | 2     | 06/28/85 | Japan                         | C07D | 237/34 |  |
| RO  | BJ | 10  | 3 | 3   | 0 | 3                      | 7            | 7     | 12/15/98 | Japan                         | C07D | 401/14 |  |
| OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)  |    |   |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BK | Harrison T, et al., "High Affinity, Selective Neurokinin 2 and Neurokinin 3 Receptor Antagonists from a Common Structural Template," <i>Bioorganic &amp; Medicinal Chemistry Letters</i> , Vol. 8, pp 1343-1348, especially compounds 2d and 3d on page 1345. (1998).   |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BL | Takai H, et al., Synthesis and Pharmacological Evaluation of Peperidine Derivatives with Various Heterocyclic Rings at the 4-Position, <i>Chemical Pharmaceutical Bulletin</i> , March 1985, Vol. 33, No. 3, pages 1105-1115, especially pages 1105 and 1106            |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BM | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 129:54361, Huff, et al., 'Preparation of benzisothiazolones and analogs as alpha. 1C. adrenergic receptor antagonist', 1998, 392146 CAPLUS (Abstract).  |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BN | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 130:95479, Sasaki, et al., 'Preparation of piperidine derivatives as cell adhesion inhibitors for inflammation inhibitors, metastasis inhibitors, etc.', 1998, 795478 CAPLUS (Abstract).                |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BO | Database on STN CADATA (Columbus, Ohio, USA) CA accession: no. 124:176079, Huff, et al., 'Preparation of heterocycles as alpha-1c adrenergic receptor antagonists', 1995, 998362 CAPLUS (Abstract).   |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BP | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 81:77786, Klein, et al., 'Potential analgesics. 3. 1-(4-Piperidinyl)-2-indolinones and -3, 4-dihydrocarboxytrils', <i>Arch. Pharm.</i> Vol. 307 (5), pp 360-365. (1974), 1974, 47786 CAPLUS (Abstract). |   |   |   |                        |              |       |          |                               |      |        |  |
| RO  | BQ | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 136:53656, Forbes I.T., 'A short and efficient synthesis of N-substituted indol-2-ones (oxindoles)', <i>Tetrahedron Lett.</i> , (2001), vol 42 (39), pp. 6943 - 6945, 2001:674545 CAPLUS (Abstract).    |   |   |   |                        |              |       |          |                               |      |        |  |
| EXAMINER  |    |   |   |   |   |                        | DATE ENTERED |       |          |                               |      |        |  |
| R. Desai  |    |   |   |   |   |                        | 12/29/03     |       |          |                               |      |        |  |
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|   | CA |   |      |                                    |   |          |                               |    |
|   | CB |   |      |                                    |   |          |                               |    |
|   | CC |   |      |                                    |   |          |                               |    |
|   | CD |   |      |                                    |   |          |                               |    |
|   | CE |   |      |                                    |   |          |                               |    |
| <b>FOREIGN PATENT DOCUMENTS</b>   |    |   |      |                                    |   |          |                               |    |
|   |    | DOCUMENT NUMBER   | DATE | COUNTRY                            | CLASS                                     | SUBCLASS | TRANSLATION                   |    |
|   |    |   |      |                                    |   |          | YES                           | NO |
|   | CF |   |      |                                    |   |          |                               |    |
|   | CG |   |      |                                    |   |          |                               |    |
|   | CH |   |      |                                    |   |          |                               |    |
| <b>OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)</b>   |    |   |      |                                    |   |          |                               |    |
| <i>RO</i>   | CI | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 135:195564, Tsushima, et al., 'Preparation of phenoxalkylamine derivatives useful as opioid delta receptor agonists', WO 2001/60796 (2001), 2001, 617978 CAPLUS (Abstract).   |      |                                    |   |          |                               |    |
| <i>RO</i>   | CJ | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 135:272955, Tsushima, et al., 'Preparation of diphenylalkylpiperidine derivatives useful as opioid delta receptor agonists', 2001:713309 CAPLUS (Abstract).   |      |                                    |   |          |                               |    |
| <i>RO</i>   | CK | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 130:223167, Budhu, et al., 'Preparation of piperidinylpyrrolidins as modulators of chemokine receptor activity', 1999:172595 CAPLUS (Abstract).   |      |                                    |   |          |                               |    |
| <i>RO</i>   | CL | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 95:203828, Bianchi, et al., 'Compounds with antiulcer and antisecretory activity. I. 3-Aryl-benzimidazol-2-ones and -thiones', Eur. J. Med. Chem. 16(4), pp. 321-326 (1981), 1981:203828 CAPLUS (Abstract).                       |      |                                    |   |          |                               |    |
| <i>RO</i>   | CM | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 73:445405, Ogata, et al., 'Organic photochemical reactions. VII. Photolysis of 1-benzyl-2-ethylbenzimidazole 3-oxide', Chem. Pharm. Bull. 18(5), pp. 964-969. (1970), 1970:445405 CAPLUS (Abstract).                              |      |                                    |   |          |                               |    |
| <i>RO</i>   | CN | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 95:177993, Giuliano, et al., 'Spectroscopic studies of 2-oxo-2, 3-dihydrobenzimidazole and some N-monosubstituted or N,N'-disubstituted derivatives', App. Spectrosc., (1981), 35(5), pp. 486-488, 1981:577993 CAPLUS (Abstract). |      |                                    |   |          |                               |    |
| <i>RO</i>   | CO | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 134:353434, Nakamura, et al., 'Synthesis of a regio-isomer of kealiquinone, a marine benzimidazole alkaloid', J. Chem. Soc. Japan, (2001), (4), pp. 429-436, 2001:112633 CAPLUS (Abstract).                                       |      |                                    |   |          |                               |    |
| <i>RO</i>   | CP | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 125:58298, Suzuki, et al., 'Preparation of heterocycle-containing amides as 5-HT4 agonists', PCT Int. Appl., p. 122 (WO 96/05166), 1996: 393911 CAPLUS (Abstract).  |      |                                    |   |          |                               |    |
| EXAMINER<br><i>R. Dera</i>  |    |   |      | DATE CONSIDERED<br><i>12/29/03</i> |   |          |                               |    |
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